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(51) International Patent Classification<sup>7</sup>: **A61P 35/00**,  
29/00, A61K 31/497

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(22) International Filing Date: 20 August 2003 (20.08.2003)

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(71) Applicant (for all designated States except MG, US): **ASTRAZENECA AB** [SE/SE]; S-151 85 Sodertalje (SE).

(71) Applicant (for MG only): **ASTRAZENECA UK LIMITED** [GB/GB]; 15 Stanhope Gate, London, Greater London W1K 1LN (GB).

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(75) Inventors/Applicants (for US only): **TONGE, David, William** [GB/GB]; AstraZeneca R & D Alderley, Alderley Park, Macclesfield, Cheshire SK10 4TG (GB). **TAYLOR, Sian, Tomiko** [GB/GB]; AstraZeneca R & D Alderley, Alderley Park, Macclesfield, Cheshire SK10 4TG (GB). **BOYLE, Francis, Thomas** [GB/GB]; AstraZeneca R & D Alderley, Alderley Park, Macclesfield, Cheshire SK10 4TG (GB). **HUGHES, Andrew, Mark** [GB/GB]; AstraZeneca R & D Alderley, Alderley Park, Macclesfield, Cheshire SK10 4TG (GB). **JOHNSTONE, Donna** [GB/GB]; AstraZeneca R & D Alderley, Alderley Park,

Macclesfield, Cheshire SK10 4TG (GB). **ASHFORD, Marianne, Bernice** [GB/GB]; AstraZeneca R & D Alderley, Alderley Park, Macclesfield, Cheshire SK10 4TG (GB). **BARRASS, Nigel, Charles** [GB/GB]; AstraZeneca R & D Alderley, Alderley Park, Macclesfield, Cheshire SK10 4TG (GB).

(74) Agent: **ASTRAZENECA**; Global Intellectual Property, Mereside, Alderley Park, Macclesfield, Cheshire SK10 4TG (GB).

(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

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For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: THERAPEUTIC USE

(57) Abstract: The use of *N*-(3-methoxy-5-methylpyrazin-2-yl)-2-(4-[1,3,4-oxadiazol-2-yl]phenyl)pyridine-3-sulphonamide, or a pharmaceutically acceptable salt thereof, in the treatment of cancer and/or pain in a warm blooded animal such as man is described.



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(GB). BARRASS, Nigel, Charles [GB/GB]; AstraZeneca  
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CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,  
MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC,  
SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA,  
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KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),  
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
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ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,  
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For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: **N-(3-METHOXY-5-METHYLPYRAZIN-2-YL)-2-(4-[1,3,4-OXADIAZOL-2-YL]PHENYL)PYRIDINE-3  
SULPHONAMIDE AS AN ANTICANCER AGENT**

(57) Abstract: The use of *N*-(3-methoxy-5-methylpyrazin-2-yl)-2-(4-[1,3,4-oxadiazol-2-yl]phenyl)pyridine-3-sulphonamide, or a  
pharmaceutically acceptable salt thereof, in the treatment of cancer and/or pain in a warm blooded animal such as man is described.

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## INTERNATIONAL SEARCH REPORT

Intern Application No

PCT/GB 03/03653

A. CLASSIFICATION OF SUBJECT MATTER  
 IPC 7 A61P35/00 A61P29/00 A61K31/497

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, BIOSIS, EMBASE, CHEM ABS Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 96/40681 A (ZENECA LTD) 19 December 1996 (1996-12-19) cited in the application page 2, line 6 page 21, lines 28-30 claim 11	1-25
Y	WO 01/44239 A (BRISTOL MYERS SQUIBB CO ;MURUGESAN NATESAN (US); GU ZHENGXIANG (US) 21 June 2001 (2001-06-21) page 48, paragraph 1 ----- -/--	1-22

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

## \* Special categories of cited documents:

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

- \*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- \*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- \*G\* document member of the same patent family

Date of the actual completion of the international search

26 February 2004

Date of mailing of the international search report

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Name and mailing address of the ISA

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Authorized officer

Skjöldebrand, C

## INTERNATIONAL SEARCH REPORT

Intern

Application No

PCT/GB 03/03653

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	CARDUCCI M A ET AL: "ENDOTHELIN RECEPTOR ANTAGONIST, ABT-627, FOR PROSTATE CANCER: INITIAL TRIAL RESULTS" JOURNAL OF UROLOGY, BALTIMORE, MD, US, vol. 161, no. 4, SUPPL, April 1999 (1999-04), page 176, XP001037609 ISSN: 0022-5347 abstract	1-22
Y	NELSON J B ET AL: "THE ROLE OF ENDOTHELIN-1 AND ENDOTHELIN RECEPTOR ANTAGONISTS IN PROSTATE CANCER" BJU INTERNATIONAL, BLACKWELL SCIENCE, OXFORD, GB, vol. 85, no. SUPPL 2, April 2000 (2000-04), pages 45-48, XP008007943 ISSN: 1464-4096 the whole document	1-22
Y	JARVIS M F ET AL: "ABT-627, AN ENDOTHELIN ETA RECEPTOR-SELECTIVE ANTAGONIST, ATTENUATES TACTILE ALLODYNIA IN A DIABETIC RAT MODEL OF NEUROPATHIC PAIN" EUROPEAN JOURNAL OF PHARMACOLOGY, AMSTERDAM, NL, vol. 388, no. 1, 24 January 2000 (2000-01-24), pages 29-35, XP001152675 ISSN: 0014-2999 abstract	23-25
Y	WO 02/49630 A (SQUIBB BRISTOL MYERS CO ; LEBWOHL DAVID E (US)) 27 June 2002 (2002-06-27) claims	23-25
Y	DATABASE WPI Section Ch, Week 200163 Derwent Publications Ltd., London, GB; Class B03, AN 2001-565331 XP002271565 & WO 01/60370 A (YAMANOUCHI PHARM CO LTD) 23 August 2001 (2001-08-23) abstract	23-25

# INTERNATIONAL SEARCH REPORT

In International application No.  
T/GB 03/03653

## Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:
  
2. ☐ Claims Nos.:  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
  
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box II Observations where unity of invention is lacking (Continuation of Item 2 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

see additional sheet

1. ☒ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
  
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
  
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
  
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☒ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1-22

The use of N-(3-methoxy-5-methylpyrazin-2-yl)-2-(4-  
'1,3,4-oxadiazol-2-yl!phenyl)pyridine-3 sulphonamide in the  
manufacture of a medicament for use in the treatment of  
cancer in a warm-blooded animal.

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2. claims: 23-25

The use of N-(3-methoxy-5-methylpyrazin-2-yl)-2-(4-  
'1,3,4-oxadiazol-2-yl!phenyl)pyridine-3 sulphonamide in the  
manufacture of a medicament for use in the prevention and  
treatment of pain in a warm-blooded animal.

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## INTERNATIONAL SEARCH REPORT

International Application No.

P GB 03/03653

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
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